Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 4	APR 04	STN AnaVist $500 visualization usage credit offered
NEWS 5	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 6	MAY 11	KOREAPAT updates resume
NEWS 7	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS 8	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS 9	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS 10	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS 11	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS 12	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 13	JUl 11	CHEMSAFE reloaded and enhanced
NEWS 14	JUl 14	FSTA enhanced with Japanese patents
NEWS 15	JUl 19	Coverage of Research Disclosure reinstated in DWPI

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:11:16 ON 28 JUL 2006

=>
Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:11:27 ON 28 JUL 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES: 27 JUL 2006 HIGHEST RN 896463-29-9
DICTIONARY FILE UPDATES: 27 JUL 2006 HIGHEST RN 896463-29-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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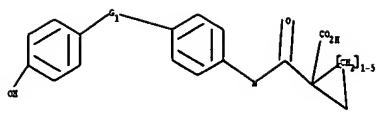
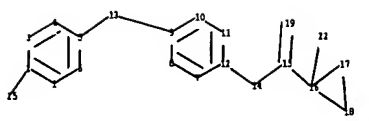
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10764118.str





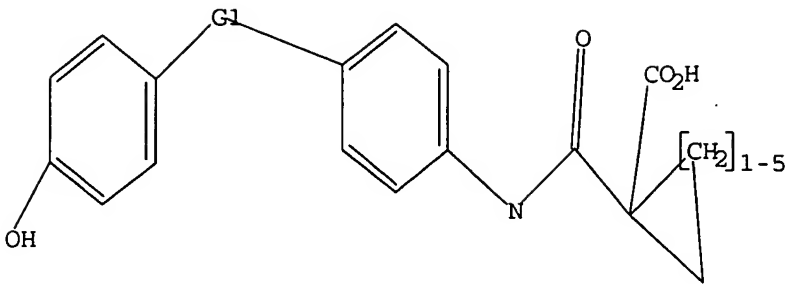
chain nodes :
13 14 15 19 22 25
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 16 17 18
chain bonds :
2-25 5-13 9-13 12-14 14-15 15-16 15-19 16-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 16-17 16-18
17-18
exact/norm bonds :
2-25 5-13 9-13 12-14 14-15 15-19
exact bonds :
15-16 16-17 16-18 16-22 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 : 16 :

G1:O,S,CH2,SO2,NH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:CLASS
22:CLASS 25:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S,CH2,SO2,NH

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 15:11:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED 1 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1 TO 80
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 15:11:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 18 TO ITERATE

100.0% PROCESSED 18 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.01

L3 10 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	167.15

FILE 'HCAPLUS' ENTERED AT 15:11:59 ON 28 JUL 2006
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FILE COVERS 1907 - 28 Jul 2006 VOL 145 ISS 6
FILE LAST UPDATED: 27 Jul 2006 (20060727/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 1 L3

=> FIL REGISTRY
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	7.59	174.74

FILE 'REGISTRY' ENTERED AT 15:14:00 ON 28 JUL 2006
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STRUCTURE FILE UPDATES: 27 JUL 2006 HIGHEST RN 896463-29-9
DICTIONARY FILE UPDATES: 27 JUL 2006 HIGHEST RN 896463-29-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

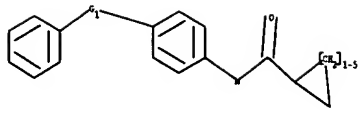
TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

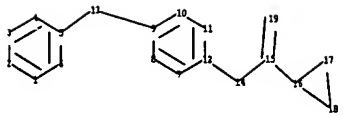
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10764118a.str





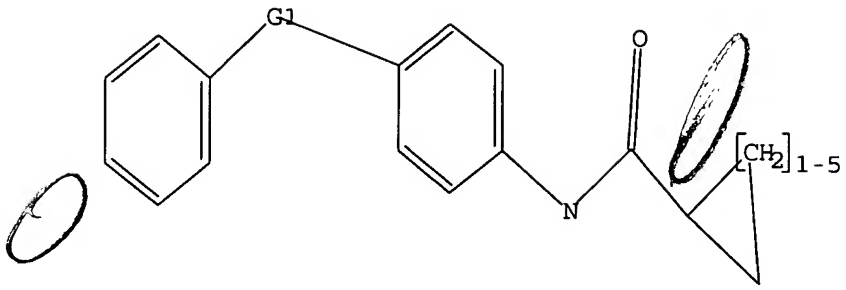
chain nodes :
13 14 15 19
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 16 17 18
chain bonds :
5-13 9-13 12-14 14-15 15-16 15-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 16-17 16-18
17-18
exact/norm bonds :
5-13 9-13 12-14 14-15 15-19
exact bonds :
15-16 16-17 16-18 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 : 16 :

G1:O,S,CH2,SO2,NH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:CLASS

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR



G1 O,S,CH2,SO2,NH

Structure attributes must be viewed using STN Express query preparation.

```
=> s l5
SAMPLE SEARCH INITIATED 15:14:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      297 TO ITERATE

100.0% PROCESSED      297 ITERATIONS                          13 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         4907 TO     6973
PROJECTED ANSWERS:              44 TO      476

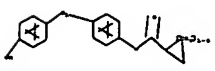
L6            13 SEA SSS SAM L5

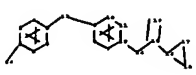
=> s l5 sss full
FULL SEARCH INITIATED 15:14:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     6270 TO ITERATE

100.0% PROCESSED     6270 ITERATIONS                         223 ANSWERS
SEARCH TIME: 00.00.01

L7           223 SEA SSS FUL L5

=>
Uploading C:\Program Files\Stnexp\Queries\10764118b.str
```





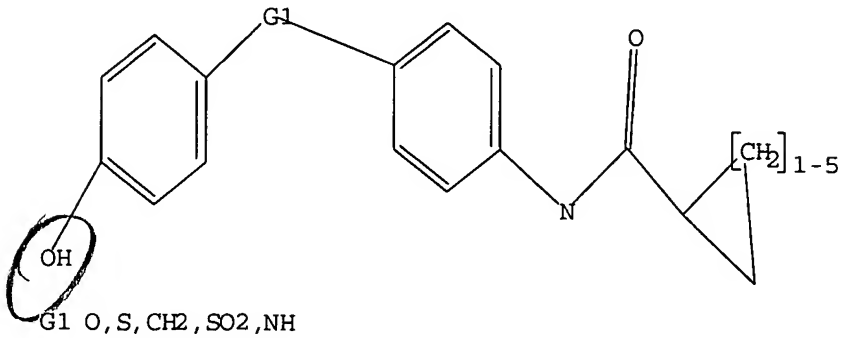
chain nodes :
13 14 15 19 24
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 16 17 18
chain bonds :
2-24 5-13 9-13 12-14 14-15 15-16 15-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 16-17 16-18
17-18
exact/norm bonds :
2-24 5-13 9-13 12-14 14-15 15-19
exact bonds :
15-16 16-17 16-18 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 : 16 :

G1:O,S,CH2,SO2,NH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:CLASS
24:CLASS

L8 STRUCTURE UPLOADED

=> d 18
L8 HAS NO ANSWERS
L8 STR



G1 O,S,CH2,SO2,NH

Structure attributes must be viewed using STN Express query preparation.

=> s l8
SAMPLE SEARCH INITIATED 15:16:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 110 TO ITERATE

100.0% PROCESSED 110 ITERATIONS 2 ANSWERS

```
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          1571 TO     2829
PROJECTED ANSWERS:                2 TO      124

L9             2 SEA SSS SAM L8

=> s l8 sss full
FULL SEARCH INITIATED 15:16:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      2050 TO ITERATE

100.0% PROCESSED     2050 ITERATIONS                          17 ANSWERS
SEARCH TIME: 00.00.01

L10           17 SEA SSS FUL L8

=> FIL HCAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              334.76     509.50

FILE 'HCAPLUS' ENTERED AT 15:16:38 ON 28 JUL 2006
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FILE COVERS 1907 - 28 Jul 2006  VOL 145 ISS 6
FILE LAST UPDATED: 27 Jul 2006  (20060727/ED)

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 substance identification.

=> d his

     (FILE 'HOME' ENTERED AT 15:11:16 ON 28 JUL 2006)

     FILE 'REGISTRY' ENTERED AT 15:11:27 ON 28 JUL 2006
L1               STRUCTURE UPLOADED
L2             1 S L1
L3            10 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 15:11:59 ON 28 JUL 2006
L4             1 S L3
```

```
     FILE 'REGISTRY' ENTERED AT 15:14:00 ON 28 JUL 2006
L5              STRUCTURE UPLOADED
L6           13 S L5
L7          223 S L5 SSS FULL
L8              STRUCTURE UPLOADED
L9            2 S L8
L10          17 S L8 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 15:16:38 ON 28 JUL 2006

=> s l7
L11          49 L7

=> s l10
L12           2 L10

=> s l11 and p/dt
       5317309 P/DT
L13          43 L11 AND P/DT

=> s l13 and py<=2003
      23862082 PY<=2003
L14          39 L13 AND PY<=2003

=> s l14 and us/pc
       1567607 US/PC
L15          17 L14 AND US/PC

=> s l15 and thyroid receptor
          79152 THYROID
           2951 THYROIDS
          79498 THYROID
                  (THYROID OR THYROIDS)
         660180 RECEPTOR
         605453 RECEPTORS
         785642 RECEPTOR
                  (RECEPTOR OR RECEPTORS)
            579 THYROID RECEPTOR
                  (THYROID(W)RECEPTOR)
L16           1 L15 AND THYROID RECEPTOR

=> s l11 and thyroid receptor
          79152 THYROID
           2951 THYROIDS
          79498 THYROID
                  (THYROID OR THYROIDS)
         660180 RECEPTOR
         605453 RECEPTORS
         785642 RECEPTOR
                  (RECEPTOR OR RECEPTORS)
            579 THYROID RECEPTOR
                  (THYROID(W)RECEPTOR)
L17           2 L11 AND THYROID RECEPTOR

=> d his

     (FILE 'HOME' ENTERED AT 15:11:16 ON 28 JUL 2006)

     FILE 'REGISTRY' ENTERED AT 15:11:27 ON 28 JUL 2006
```

```
L1              STRUCTURE UPLOADED
L2            1 S L1
L3           10 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 15:11:59 ON 28 JUL 2006
L4            1 S L3

     FILE 'REGISTRY' ENTERED AT 15:14:00 ON 28 JUL 2006
L5              STRUCTURE UPLOADED
L6           13 S L5
L7          223 S L5 SSS FULL
L8              STRUCTURE UPLOADED
L9            2 S L8
L10          17 S L8 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 15:16:38 ON 28 JUL 2006
L11          49 S L7
L12           2 S L10
L13          43 S L11 AND P/DT
L14          39 S L13 AND PY<=2003
L15          17 S L14 AND US/PC
L16           1 S L15 AND THYROID RECEPTOR
L17           2 S L11 AND THYROID RECEPTOR

=> d l4 ibib abs hitstr tot

L4   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2004:648329  HCAPLUS
DOCUMENT NUMBER:          141:190601
TITLE:                    Preparation of cycloalkyl-containing anilide
                          derivatives as thyroid receptor ligands
INVENTOR(S):              Washburn, William N.; Meng, Wei
PATENT ASSIGNEE(S):       Bristol-Myers Squibb Company, USA
SOURCE:                   PCT Int. Appl., 77 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     ---------------      ----   --------    --------------------     --------
     WO 2004066929         A2    20040812    WO 2004-US1779           20040123
     WO 2004066929         A3    20041216
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
     US 2004176425         A1    20040909    US 2004-764118           20040123
     EP 1587783            A2    20051026    EP 2004-704797           20040123
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2006516620         T2    20060706    JP 2006-502947           20040123
PRIORITY APPLN. INFO.:                      US 2003-442659P      P   20030124
                                            WO 2004-US1779       W   20040123
OTHER SOURCE(S):          MARPAT 141:190601
GI
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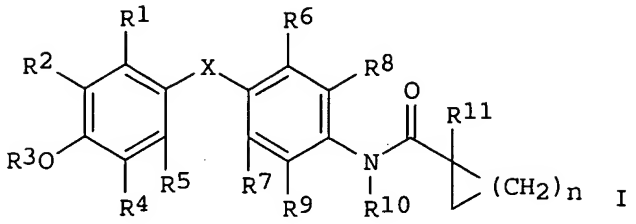
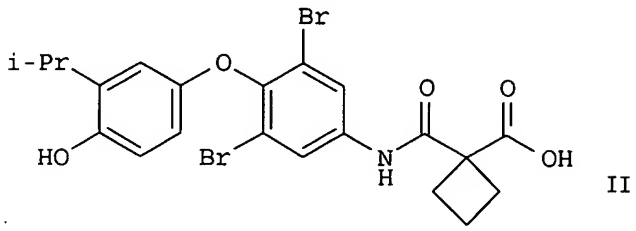


I



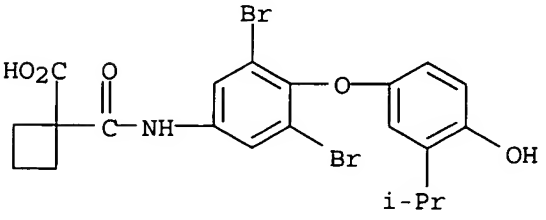
II

AB Title compds. presented by the general formula I [wherein X = O, Se, S, SO, SO2, CO, CH2, NH; R1 = H, halo, CF3, alkyl; R2 = halo, CF3, (cyclo)alkyl, alkenyl, etc.; R3 = H, alkyl, benzyl, aroyl, alkanoyl; R4, R5 = independently H, halo, alkyl; R6, R7 = independently H, halo, cyano, (cyclo)alkyl; R8, R9 = independently selected from H, halo, alkoxy, hydroxy, cyano, CF3, alkyl; R10 = H or alkyl; R11 = carboxylic acid ester or tetrazole; n = 1-4; and all prodrugs, stereoisomers, and pharmaceutically acceptable salts thereof] were prepd as thyroid receptor ligands (no data). For example, II was given in a multiple-step synthesis starting from the reaction of bis(3-isopropyl-4-methoxyphenyl)iodonium tetrafluoroborate with 2,6-dibromo-4-nitrophenol. Thus, I and their pharmaceutical compns. are useful as the thyroid receptor ligands for preventing, inhibiting or treating diseases or disorders associated with metabolic dysfunction or which are dependent upon the expression of a T3 regulated gene, wherein a compound as described above is administered in a therapeutically effective amt (no data).

IT 736928-48-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of cycloalkyl-containing anilide derivs. as thyroid receptor ligands)

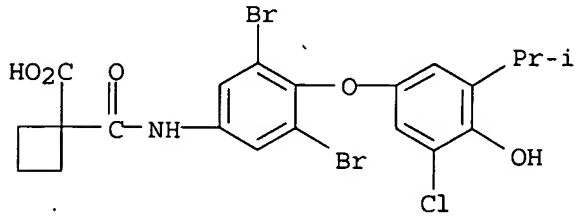
RN 736928-48-6 HCAPLUS

CN Cyclobutanecarboxylic acid, 1-[[[3,5-dibromo-4-[4-hydroxy-3-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

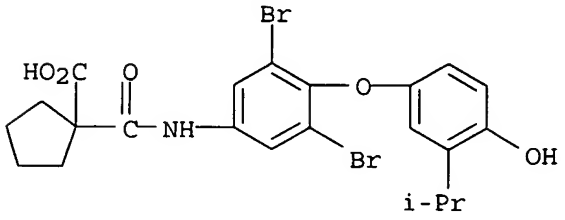


IT 736928-50-0P 736928-51-1P 736928-52-2P
736928-53-3P 736928-54-4P 736928-55-5P
736928-56-6P 736928-57-7P 736928-58-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cycloalkyl-containing anilide derivs. as thyroid receptor ligands)

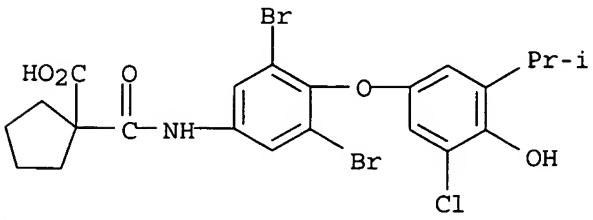
RN 736928-50-0 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[3,5-dibromo-4-[3-chloro-4-hydroxy-5-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



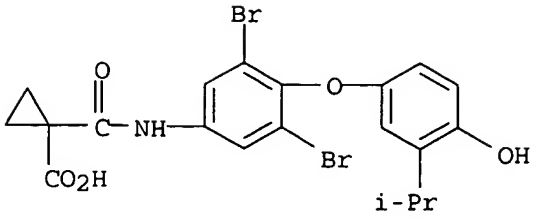
RN 736928-51-1 HCAPLUS
CN Cyclopentanecarboxylic acid, 1-[[[3,5-dibromo-4-[4-hydroxy-3-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



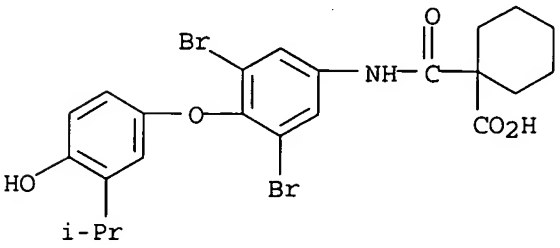
RN 736928-52-2 HCAPLUS
CN Cyclopentanecarboxylic acid, 1-[[[3,5-dibromo-4-[3-chloro-4-hydroxy-5-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



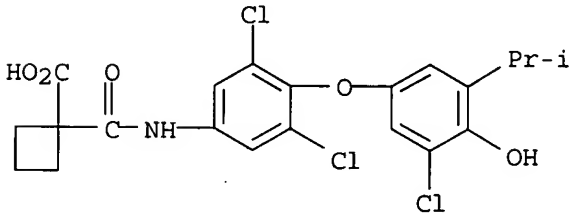
RN 736928-53-3 HCAPLUS
CN Cyclopropanecarboxylic acid, 1-[[[3,5-dibromo-4-[4-hydroxy-3-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



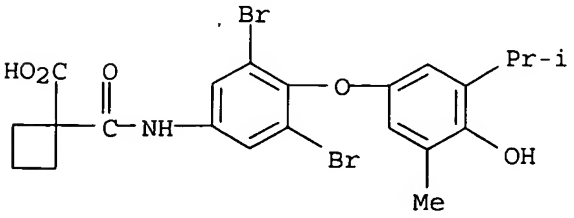
RN 736928-54-4 HCAPLUS
CN Cyclohexanecarboxylic acid, 1-[[[3,5-dibromo-4-[4-hydroxy-3-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 736928-55-5 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[3,5-dichloro-4-[3-chloro-4-hydroxy-5-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

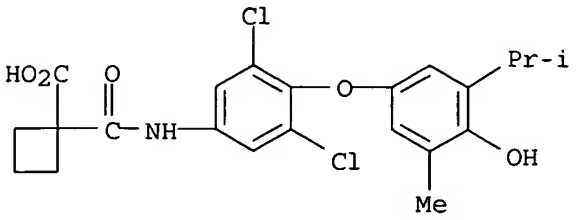


RN 736928-56-6 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[3,5-dibromo-4-[4-hydroxy-3-methyl-5-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

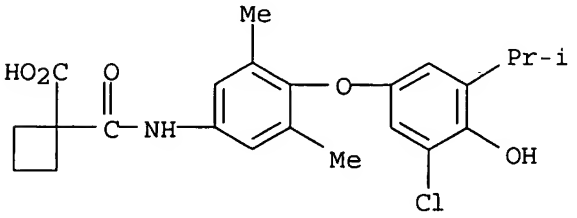


RN 736928-57-7 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[3,5-dichloro-4-[4-hydroxy-3-methyl-5-(1-

methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 736928-58-8 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[4-[3-chloro-4-hydroxy-5-(1-methylethyl)phenoxy]-3,5-dimethylphenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



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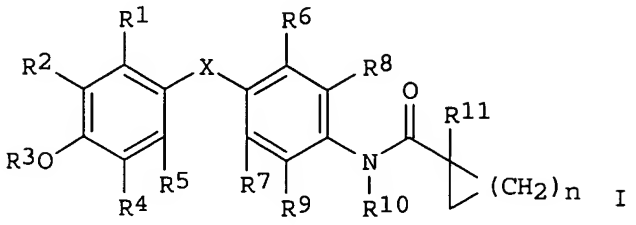
L12 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:648329 HCAPLUS
DOCUMENT NUMBER: 141:190601
TITLE: Preparation of cycloalkyl-containing anilide derivatives as thyroid receptor ligands
INVENTOR(S): Washburn, William N.; Meng, Wei
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004066929	A2	20040812	WO 2004-US1779	20040123
WO 2004066929	A3	20041216		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
US 2004176425	A1	20040909	US 2004-764118	20040123
EP 1587783	A2	20051026	EP 2004-704797	20040123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

JP 2006516620 T2 20060706 JP 2006-502947 20040123
PRIORITY APPLN. INFO.: US 2003-442659P P 20030124
WO 2004-US1779 W 20040123
OTHER SOURCE(S): MARPAT 141:190601
GI



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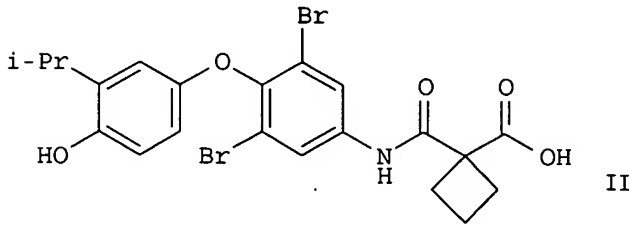


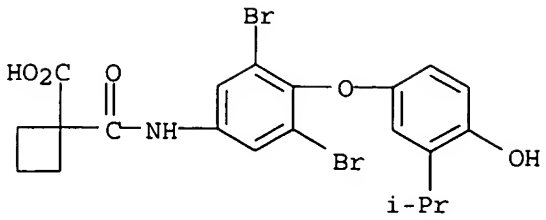
II

AB Title compds. presented by the general formula I [wherein X = O, Se, S, SO, SO2, CO, CH2, NH; R1 = H, halo, CF3, alkyl; R2 = halo, CF3, (cyclo)alkyl, alkenyl, etc.; R3 = H, alkyl, benzyl, aroyl, alkanoyl; R4, R5 = independently H, halo, alkyl; R6, R7 = independently H, halo, cyano, (cyclo)alkyl; R8, R9 = independently selected from H, halo, alkoxy, hydroxy, cyano, CF3, alkyl; R10 = H or alkyl; R11 = carboxylic acid ester or tetrazole; n = 1-4; and all prodrugs, stereoisomers, and pharmaceutically acceptable salts thereof] were prepd as thyroid receptor ligands (no data). For example, II was given in a multiple-step synthesis starting from the reaction of bis(3-isopropyl-4-methoxyphenyl)iodonium tetrafluoroborate with 2,6-dibromo-4-nitrophenol. Thus, I and their pharmaceutical compns. are useful as the thyroid receptor ligands for preventing, inhibiting or treating diseases or disorders associated with metabolic dysfunction or which are dependent upon the expression of a T3 regulated gene, wherein a compound as described above is administered in a therapeutically effective amt (no data).

IT 736928-48-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of cycloalkyl-containing anilide derivs. as thyroid receptor ligands)

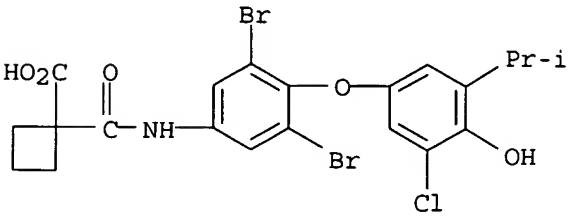
RN 736928-48-6 HCAPLUS

CN Cyclobutanecarboxylic acid, 1-[[[3,5-dibromo-4-[4-hydroxy-3-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

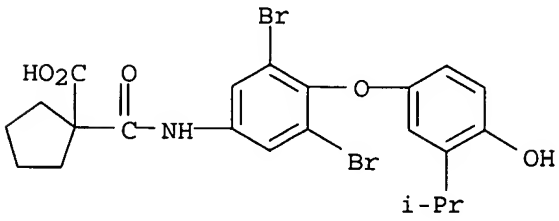


IT 736928-50-0P 736928-51-1P 736928-52-2P
736928-53-3P 736928-54-4P 736928-55-5P
736928-56-6P 736928-57-7P 736928-58-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cycloalkyl-containing anilide derivs. as thyroid receptor ligands)

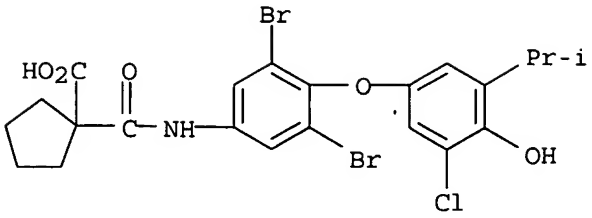
RN 736928-50-0 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[3,5-dibromo-4-[3-chloro-4-hydroxy-5-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



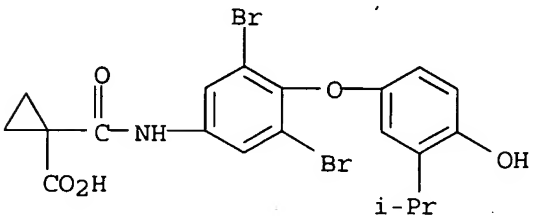
RN 736928-51-1 HCAPLUS
CN Cyclopentanecarboxylic acid, 1-[[[3,5-dibromo-4-[4-hydroxy-3-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



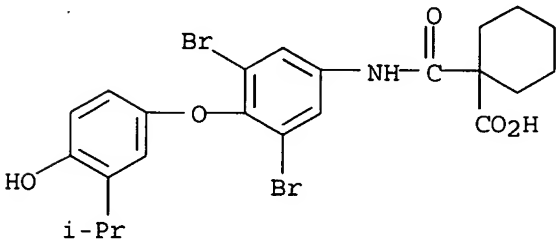
RN 736928-52-2 HCAPLUS
CN Cyclopentanecarboxylic acid, 1-[[[3,5-dibromo-4-[3-chloro-4-hydroxy-5-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



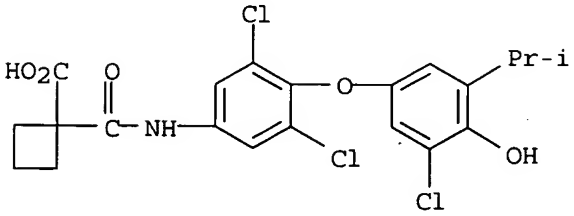
RN 736928-53-3 HCAPLUS
CN Cyclopropanecarboxylic acid, 1-[[[3,5-dibromo-4-[4-hydroxy-3-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 736928-54-4 HCAPLUS
CN Cyclohexanecarboxylic acid, 1-[[[3,5-dibromo-4-[4-hydroxy-3-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

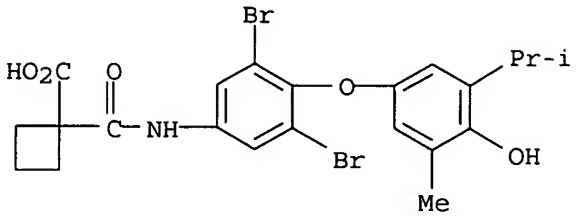


RN 736928-55-5 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[3,5-dichloro-4-[3-chloro-4-hydroxy-5-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

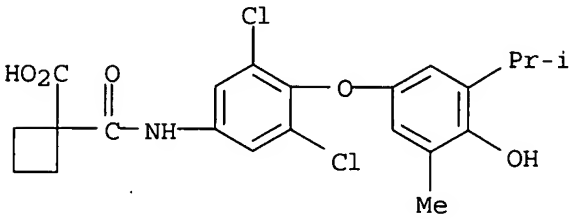


RN 736928-56-6 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[3,5-dibromo-4-[4-hydroxy-3-methyl-5-(1-

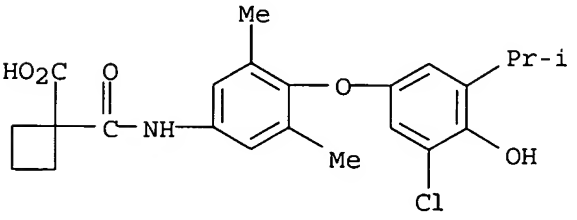
methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



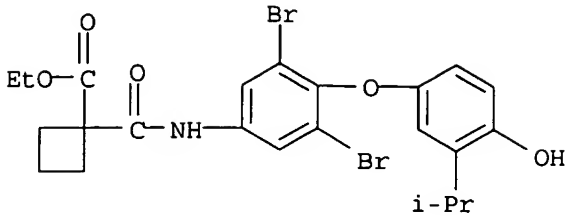
RN 736928-57-7 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[3,5-dichloro-4-[4-hydroxy-3-methyl-5-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



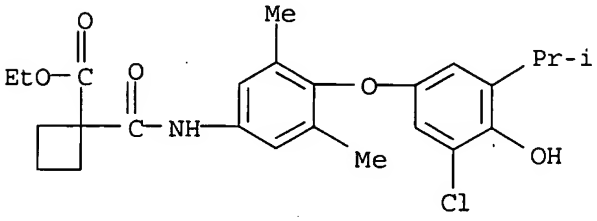
RN 736928-58-8 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[4-[3-chloro-4-hydroxy-5-(1-methylethyl)phenoxy]-3,5-dimethylphenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



IT 736928-59-9P 736928-69-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cycloalkyl-containing anilide derivs. as thyroid receptor ligands)
RN 736928-59-9 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[3,5-dibromo-4-[4-hydroxy-3-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



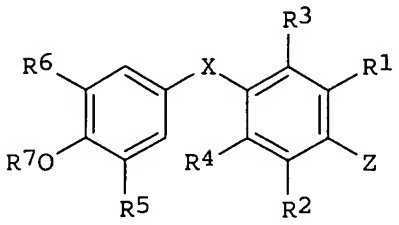
RN 736928-69-1 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[4-[3-chloro-4-hydroxy-5-(1-methylethyl)phenoxy]-3,5-dimethylphenyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:22837 HCAPLUS
DOCUMENT NUMBER: 138:73089
TITLE: Preparation of N-phenyloxyphenylcarboxamides as anticholesteremic agents
INVENTOR(S): Schmeck, Carsten; Mueller, Ulrich; Schmidt, Gunter; Pernerstorfer, Josef; Bischoff, Hilmar; Kretschmer, Axel; Voehringer, Verena; Faeste, Christiane; Haning, Helmut; Woltering, Michael
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002519	A1	20030109	WO 2002-EP6638	20020617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10131462	A1	20030109	DE 2001-10131462	20010629

PRIORITY APPLN. INFO.: DE 2001-10131462 A 20010629
OTHER SOURCE(S): MARPAT 138:73089
GI

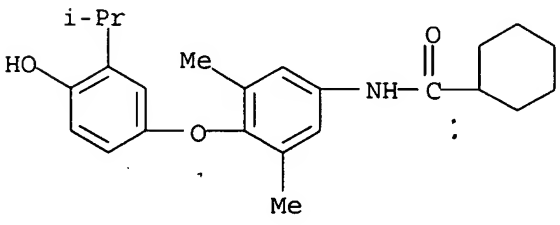


I

AB Title compds. [I; X = O, S, SO, SO2, CH2, CHF, CF2, etc.; R1, R2 = H, alkyl; R3, R4 = H, halo, cyano, alkyl, CF3, CHF2, CH2F, vinyl, cycloalkyl; R5 = H, alkyl, halo; R6 = alkyl, Br, Cl, etc.; R7 = H, alkyl, alkanoyl; Z = NHSO2R36, NHCO2R37, NHCONR38R39, NHCOR40; R36-R40 = (substituted) alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, heteroaryl], were prepared as anticholesteremic agents (no data). Thus, 4-(4-[tert-butyl(dimethyl)silyloxy]-3-isopropylphenoxy)-3,5-dimethylaniline (preparation given) in THF was stirred with hexanoyl chloride and dimethylaminopyridine for 16 h at room temperature followed by further addition of hexanoyl chloride and stirring to give 73% N-[4-(4-hydroxy-3-isopropylphenoxy)-3,5-dimethylphenyl]hexanamide.

IT 482332-07-0P 482332-30-9P 482332-33-2P
482332-44-5P 482332-79-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenyloxyphenylcarboxamides as anticholesteremic agents)

RN 482332-07-0 HCAPLUS
CN Cyclohexanecarboxamide, N-[4-[4-hydroxy-3-(1-methylethyl)phenoxy]-3,5-dimethylphenyl]- (9CI) (CA INDEX NAME)



RN 482332-30-9 HCAPLUS
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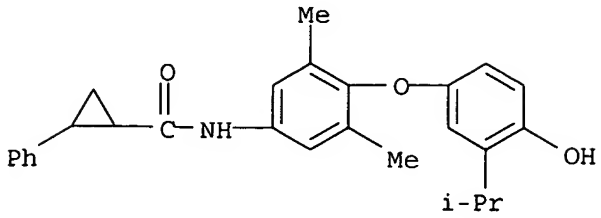
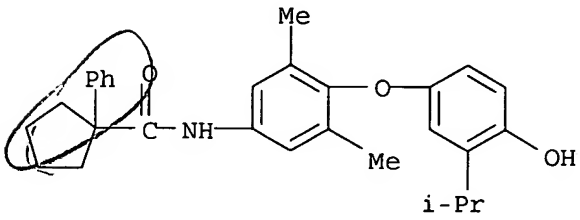
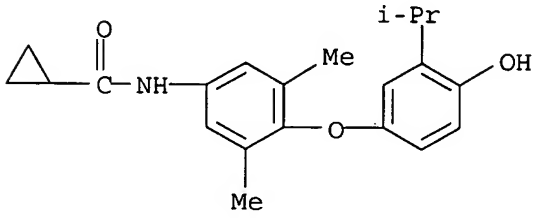


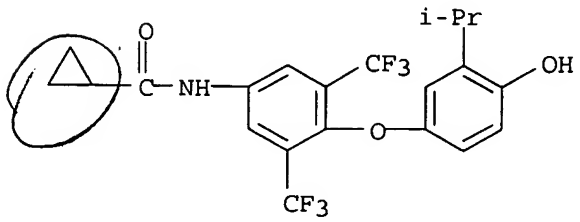
RN 482332-33-2 HCAPLUS
CN Cyclopentanecarboxamide, N-[4-[4-hydroxy-3-(1-methylethyl)phenoxy]-3,5-dimethylphenyl]-1-phenyl- (9CI) (CA INDEX NAME)



RN 482332-44-5 HCAPLUS
CN Cyclopropanecarboxamide, N-[4-[4-hydroxy-3-(1-methylethyl)phenoxy]-3,5-dimethylphenyl]- (9CI) (CA INDEX NAME)



RN 482332-79-6 HCAPLUS
CN Cyclopropanecarboxamide, N-[4-[4-hydroxy-3-(1-methylethyl)phenoxy]-3,5-bis(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l16 ibib abs hitstr tot

L16 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:935563 HCAPLUS
DOCUMENT NUMBER: 136:54021
TITLE: Thyroid receptor ligands, namely 3,5-dichloro-4-(3-bromo-4-amidophenoxy)phenylacetic acids and analogs, pharmaceutical compositions comprising them, and their use in the treatment of disorders influenced by thyroid hormones
INVENTOR(S): Li, Yi-Lin; Malm, Johan; Litten, Chris; Garcia Collazo, Ana Maria; Garg, Neeraj
PATENT ASSIGNEE(S): Karo Bio AB, Swed.
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2001098256	A1	20011227	WO 2001-EP6815		20010615	<--
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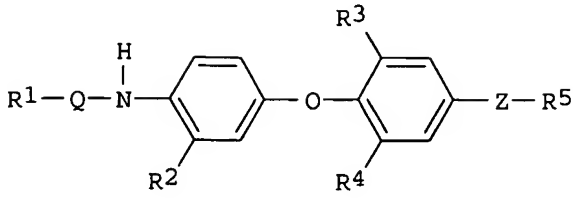
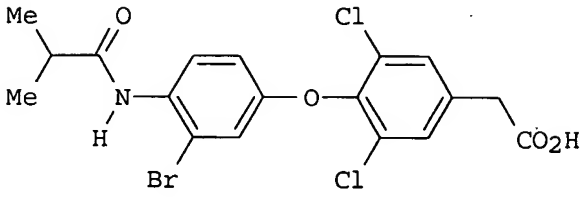
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG						
CA 2412161	AA	20011227	CA 2001-2412161		20010615	<--
EP 1296936	A1	20030402	EP 2001-951600		20010615	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR						
JP 2004501132	T2	20040115	JP 2002-504212		20010615	
AU 779880	B2	20050217	AU 2001-72484		20010615	
US 2004097589	A1	20040520	US 2003-311524		20030422	<--
PRIORITY APPLN. INFO.:			GB 2000-15205	A	20000621	
			WO 2001-EP6815	W	20010615	

OTHER SOURCE(S): MARPAT 136:54021
GI



I



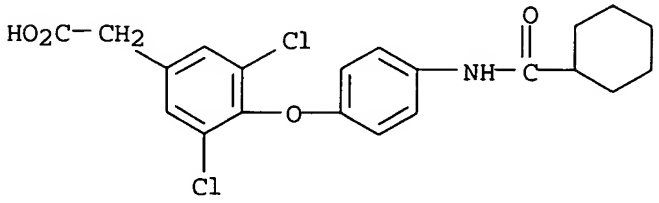
II

AB The invention relates to compds. I or pharmaceutically acceptable salts thereof [wherein: R1 = (un)substituted aryl, heteroaryl, alk(en/yn)yl, cycloalkyl; R2 = H, halo, NO2, CN, aryl, heteroaryl, alk(en/yn)yl, cycloalkyl; R1 can be linked to R2, thus forming an (un)substituted aza-containing C5-8 heterocyclic ring; Q = CO, SO, SO2, NHCS, or NHCO; R3, R4 = halo, (un)substituted alk(en/yn)yl, cycloalkyl, or bioisosteric equivalent; Z = (CH2)n, CH:CH, O(CH2)m, or NH(CH2)m; n = 0, 1, 2, or 3; m = 1 or 2; R5 = CO2H, PO(OH)2, PO(OH)NH2, SO2OH, CONHOH, NHCOCO2H, NHCOCH2CO2H, CONHSO2R', or CONR'R'' (R' and R'' not explicitly defined) where the amine portion is derived from an L- or D-amino acid or a mixture; or any other possible bioisosteric equivalent of all the groups above; including all stereoisomers, and prodrug esters]. Also disclosed are methods of preparing I, and methods for using them, such as in the regulation of metabolism I are thyroid receptor ligands, and are preferably selective for the thyroid hormone receptor β. Over 80 examples are given. For instance, 3,5-dichloro-4-(3-bromo-4-isobutyramidophenoxy)phenylacetic acid (II) was prepared in 9 steps as follows: (1) bromination of 2,6-dichlorophenol in the 4-position (85%), (2) etherification with 4-fluoronitrobenzene (45%), (3) coupling of the bromide with HC.tplbond.CSiMe3 (53%), (4) desilylation and oxidation to an acid, (5) conversion to the Me ester, (6) hydrogenation of the nitro group, (7) ring bromination adjacent to amino (57%), (8) amidation of the amino group with isobutyryl chloride (40%), and (9) alkaline hydrolysis of the ester (82%). Compds. I of the examples bound to thyroid receptor β with IC50 values of 0.2 nM to 10,000 nM.

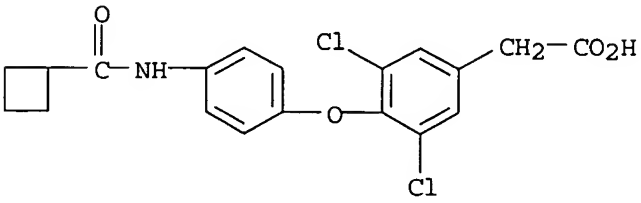
IT 383181-97-3P, [3,5-Dichloro-4-[4-[(cyclohexylcarbonyl)amino]phenoxy]phenyl]acetic acid 383182-00-1P, [3,5-Dichloro-4-[4-[(cyclobutylcarbonyl)amino]phenoxy]phenyl]acetic acid 383182-01-2P, [3,5-Dichloro-4-[4-[(cyclopentylcarbonyl)amino]phenoxy]phenyl]acetic acid 383182-02-3P, [3,5-Dichloro-4-[4-[(cycloheptylcarbonyl)amino]phenoxy]phenyl]acetic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of dichloro(bromoamidophenoxy)phenylacetic acids and analogs as thyroid hormone receptor ligands)

RN 383181-97-3 HCAPLUS

CN Benzeneacetic acid, 3,5-dichloro-4-[4-[(cyclohexylcarbonyl)amino]phenoxy]-
(9CI) (CA INDEX NAME)



RN 383182-00-1 HCAPLUS
CN Benzeneacetic acid, 3,5-dichloro-4-[4-[(cyclobutylcarbonyl)amino]phenoxy]-
(9CI) (CA INDEX NAME)



RN 383182-01-2 HCAPLUS
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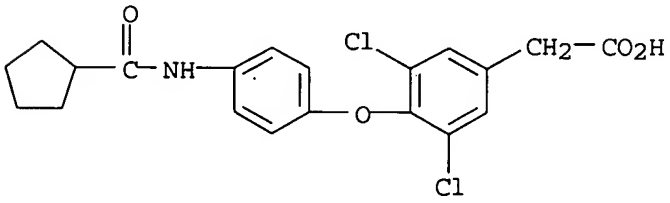
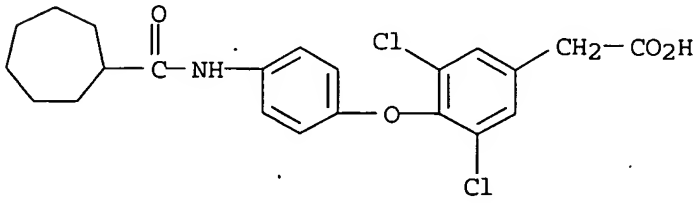
(9CI) (CA INDEX NAME)



RN 383182-02-3 HCAPLUS
CN Benzeneacetic acid, 3,5-dichloro-4-[4-[(cycloheptylcarbonyl)amino]phenoxy]-
(9CI) (CA INDEX NAME)



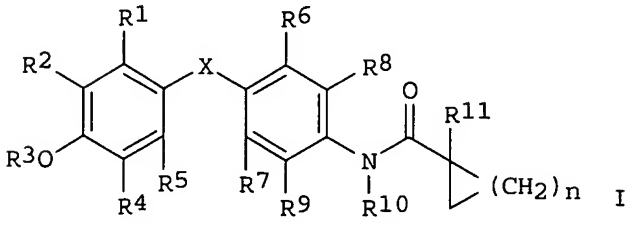
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

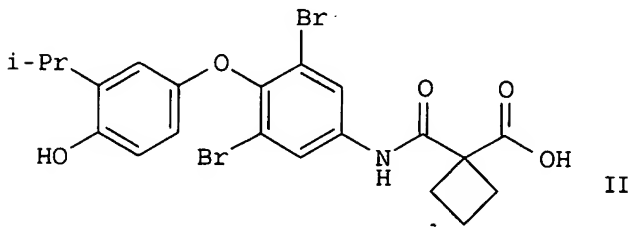
=> d l17 ibib abs hitstr tot

L17 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:648329 HCAPLUS
DOCUMENT NUMBER: 141:190601
TITLE: Preparation of cycloalkyl-containing anilide derivatives as thyroid receptor ligands
INVENTOR(S): Washburn, William N.; Meng, Wei
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004066929	A2	20040812	WO 2004-US1779	20040123
WO 2004066929	A3	20041216		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
US 2004176425	A1	20040909	US 2004-764118	20040123
EP 1587783	A2	20051026	EP 2004-704797	20040123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006516620	T2	20060706	JP 2006-502947	20040123
PRIORITY APPLN. INFO.:			US 2003-442659P P	20030124
			WO 2004-US1779 W	20040123

OTHER SOURCE(S): MARPAT 141:190601
GI





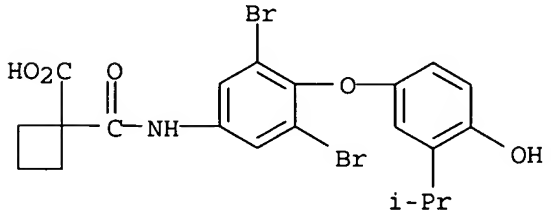
AB Title compds. presented by the general formula I [wherein X = O, Se, S,

SO, SO2, CO, CH2, NH; R1 = H, halo, CF3, alkyl; R2 = halo, CF3, (cyclo)alkyl, alkenyl, etc.; R3 = H, alkyl, benzyl, aroyl, alkanoyl; R4, R5 = independently H, halo, alkyl; R6, R7 = independently H, halo, cyano, (cyclo)alkyl; R8, R9 = independently selected from H, halo, alkoxy, hydroxy, cyano, CF3, alkyl; R10 = H or alkyl; R11 = carboxylic acid ester or tetrazole; n = 1-4; and all prodrugs, stereoisomers, and pharmaceutically acceptable salts thereof] were prepd as thyroid receptor ligands (no data). For example, II was given in a multiple-step synthesis starting from the reaction of bis(3-isopropyl-4-methoxyphenyl)iodonium tetrafluoroborate with 2,6-dibromo-4-nitrophenol. Thus, I and their pharmaceutical compns. are useful as the thyroid receptor ligands for preventing, inhibiting or treating diseases or disorders associated with metabolic dysfunction or which are dependent upon the expression of a T3 regulated gene, wherein a compound as described above is administered in a therapeutically effective amt (no data).

IT 736928-48-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of cycloalkyl-containing anilide derivs. as thyroid receptor ligands)

RN 736928-48-6 HCAPLUS

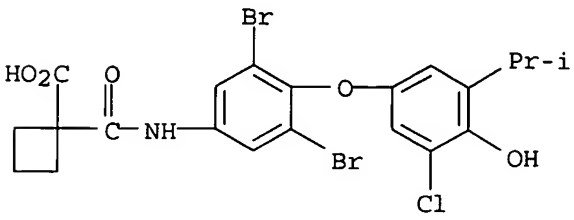
CN Cyclobutanecarboxylic acid, 1-[[[3,5-dibromo-4-[4-hydroxy-3-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



IT 736928-50-0P 736928-51-1P 736928-52-2P
736928-53-3P 736928-54-4P 736928-55-5P
736928-56-6P 736928-57-7P 736928-58-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cycloalkyl-containing anilide derivs. as thyroid receptor ligands)

RN 736928-50-0 HCAPLUS

CN Cyclobutanecarboxylic acid, 1-[[[3,5-dibromo-4-[3-chloro-4-hydroxy-5-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 736928-51-1 HCAPLUS
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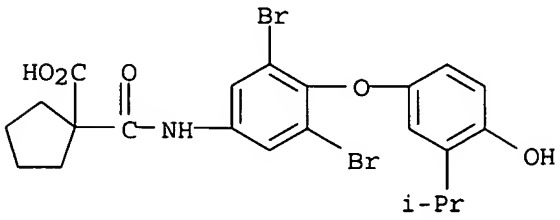
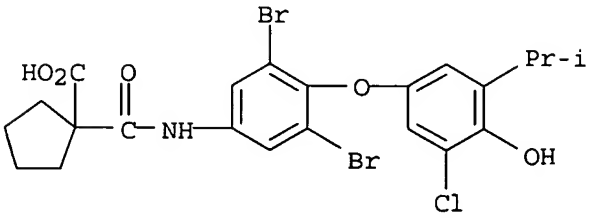


RN 736928-52-2 HCAPLUS
CN Cyclopentanecarboxylic acid, 1-[[[3,5-dibromo-4-[3-chloro-4-hydroxy-5-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 736928-53-3 HCAPLUS
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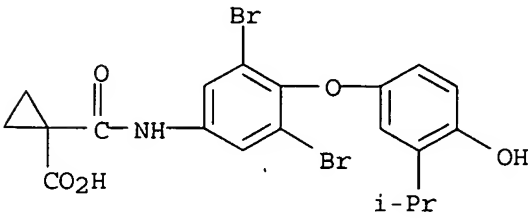

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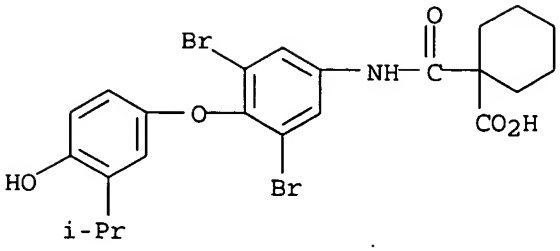
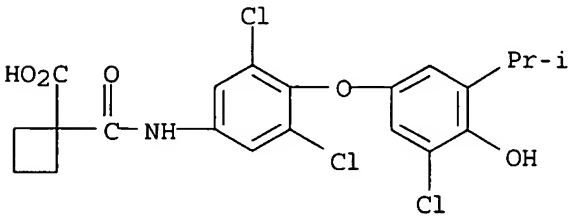


RN 736928-55-5 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[3,5-dichloro-4-[3-chloro-4-hydroxy-5-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 736928-56-6 HCAPLUS
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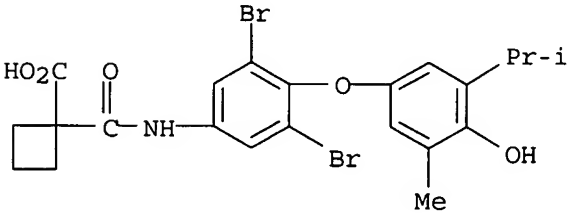
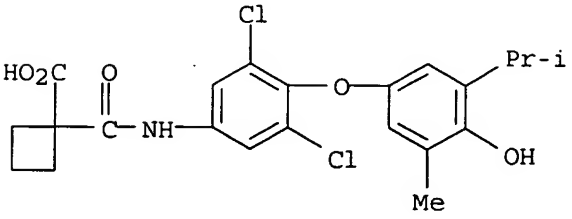


RN 736928-57-7 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[3,5-dichloro-4-[4-hydroxy-3-methyl-5-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 736928-58-8 HCAPLUS
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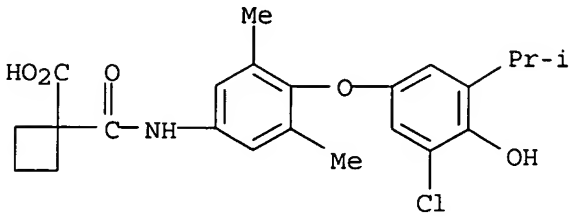
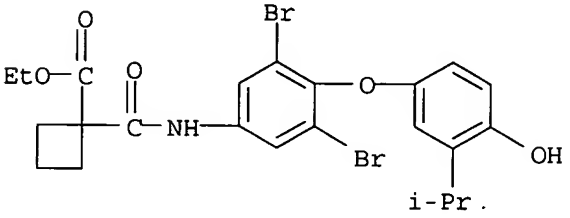
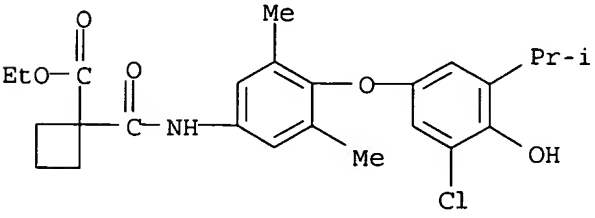
methylethyl)phenoxy]-3,5-dimethylphenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



IT 736928-59-9P 736928-69-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cycloalkyl-containing anilide derivs. as thyroid receptor ligands)
RN 736928-59-9 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[3,5-dibromo-4-[4-hydroxy-3-(1-methylethyl)phenoxy]phenyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 736928-69-1 HCAPLUS
CN Cyclobutanecarboxylic acid, 1-[[[4-[3-chloro-4-hydroxy-5-(1-methylethyl)phenoxy]-3,5-dimethylphenyl]amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L17 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:935563 HCAPLUS
DOCUMENT NUMBER: 136:54021
TITLE: Thyroid receptor ligands, namely 3,5-dichloro-4-(3-bromo-4-amidophenoxy)phenylacetic acids and analogs, pharmaceutical compositions comprising them, and their use in the treatment of

disorders influenced by thyroid hormones

INVENTOR(S): Li, Yi-Lin; Malm, Johan; Litten, Chris; Garcia Collazo, Ana Maria; Garg, Neeraj
PATENT ASSIGNEE(S): Karo Bio AB, Swed.

SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098256	A1	20011227	WO 2001-EP6815	20010615
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2412161	AA	20011227	CA 2001-2412161	20010615
EP 1296936	A1	20030402	EP 2001-951600	20010615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004501132	T2	20040115	JP 2002-504212	20010615
AU 779880	B2	20050217	AU 2001-72484	20010615
US 2004097589	A1	20040520	US 2003-311524	20030422
PRIORITY APPLN. INFO.:			GB 2000-15205 A	20000621
			WO 2001-EP6815 W	20010615

OTHER SOURCE(S): MARPAT 136:54021

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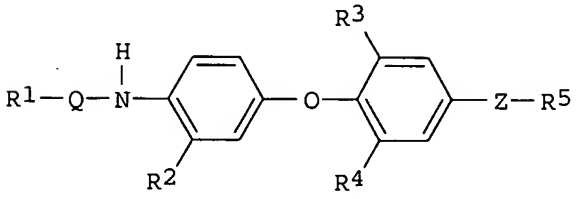

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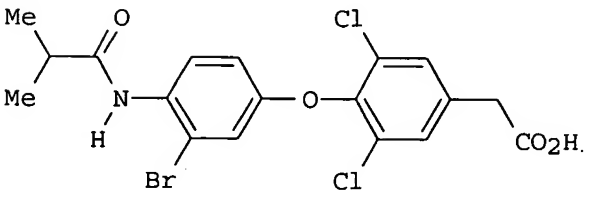
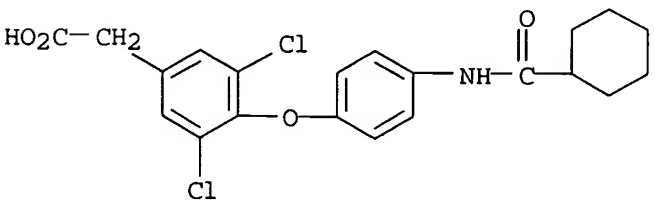


II

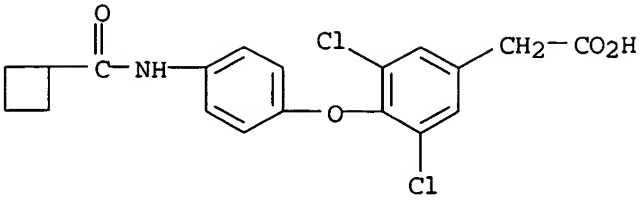
AB The invention relates to compds. I or pharmaceutically acceptable salts thereof [wherein: R1 = (un)substituted aryl, heteroaryl, alk(en/yn)yl, cycloalkyl; R2 = H, halo, NO2, CN, aryl, heteroaryl, alk(en/yn)yl, cycloalkyl; R1 can be linked to R2, thus forming an (un)substituted aza-containing C5-8 heterocyclic ring; Q = CO, SO, SO2, NHCS, or NHCO; R3, R4 = halo, (un)substituted alk(en/yn)yl, cycloalkyl, or bioisosteric equivalent; Z = (CH2)n, CH:CH, O(CH2)m, or NH(CH2)m; n = 0, 1, 2, or 3; m = 1 or 2; R5 = CO2H, PO(OH)2, PO(OH)NH2, SO2OH, CONHOH, NHCOCO2H, NHCOCH2CO2H, CONHSO2R', or CONR'R'' (R' and R'' not explicitly defined) where the amine portion is derived from an L- or D-amino acid or a mixture; or any other possible bioisosteric equivalent of all the groups above; including all stereoisomers, and prodrug esters]. Also disclosed are methods of preparing I, and methods for using them, such as in the regulation of metabolism I are thyroid receptor ligands, and are preferably selective for the thyroid hormone receptor β. Over 80 examples are given. For instance, 3,5-dichloro-4-(3-bromo-4-isobutyramidophenoxy)phenylacetic acid (II) was prepared in 9 steps as follows: (1) bromination of 2,6-dichlorophenol in the 4-position (85%), (2) etherification with 4-fluoronitrobenzene (45%), (3) coupling of the bromide with HC.tplbond.CSiMe3 (53%), (4) desilylation and oxidation to an acid, (5) conversion to the Me ester, (6) hydrogenation of the nitro group, (7) ring bromination adjacent to amino (57%), (8) amidation of the amino group with isobutyryl chloride (40%), and (9) alkaline hydrolysis of the ester (82%). Compds. I of the examples bound to thyroid receptor β with IC50 values of 0.2 nM to 10,000 nM.

IT 383181-97-3P, [3,5-Dichloro-4-[4-[(cyclohexylcarbonyl)amino]phenoxy]phenyl]acetic acid 383182-00-1P, [3,5-Dichloro-4-[4-[(cyclobutylcarbonyl)amino]phenoxy]phenyl]acetic acid 383182-01-2P, [3,5-Dichloro-4-[4-[(cyclopentylcarbonyl)amino]phenoxy]phenyl]acetic acid 383182-02-3P, [3,5-Dichloro-4-[4-[(cycloheptylcarbonyl)amino]phenoxy]phenyl]acetic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of dichloro(bromoamidophenoxy)phenylacetic acids and analogs as thyroid hormone receptor ligands)

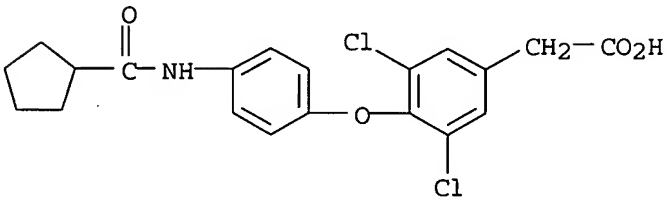
RN 383181-97-3 HCAPLUS
CN Benzeneacetic acid, 3,5-dichloro-4-[4-[(cyclohexylcarbonyl)amino]phenoxy]- (9CI) (CA INDEX NAME)



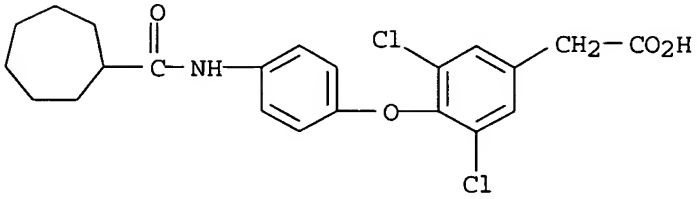
RN 383182-00-1 HCAPLUS
CN Benzeneacetic acid, 3,5-dichloro-4-[4-[(cyclobutylcarbonyl)amino]phenoxy]- (9CI) (CA INDEX NAME)



RN 383182-01-2 HCAPLUS
CN Benzeneacetic acid, 3,5-dichloro-4-[4-[(cyclopentylcarbonyl)amino]phenoxy]- (9CI) (CA INDEX NAME)



RN 383182-02-3 HCAPLUS
CN Benzeneacetic acid, 3,5-dichloro-4-[4-[(cycloheptylcarbonyl)amino]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

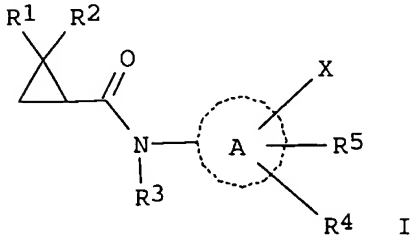
=> d l15 ibib abs tot

L15 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:353412 HCAPLUS
DOCUMENT NUMBER: 136:355161
TITLE: Preparation of cyclopropanecarboxylic acid amides as NF-kappa B activation inhibitors, inflammatory cytokine production inhibitors, etc.
INVENTOR(S): Iino, Yukio; Yamamoto, Takashi; Kobayashi, Tsuyoshi
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036547	A1	20020510	WO 2001-JP9554	20011031 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002010989	A5	20020515	AU 2002-10989	20011031 <--
US 2004002521	A1	20040101	US 2003-425918	20030430 <--
PRIORITY APPLN. INFO.:			JP 2000-334271 A	20001101
			WO 2001-JP9554 W	20011031

OTHER SOURCE(S): MARPAT 136:355161

GI



I

AB The title compds. I [R1, R2 = alkyl, etc.; R3 = H, alkyl; ring A = aromatic ring, heterocyclic ring; R4, R5 = H, halo, etc.; X = H, amino, etc.] are prepared I are NF-kappa B activation inhibitors, inflammatory cytokine production inhibitors, matrix metalloprotease production inhibitors, inflammatory cell adhesion factor expression inhibitors, antiinflammatory agents, antirheumatic agents, immunosuppressants, cancer metastasis inhibitors, antiviral agents or remedies for arteriosclerosis. 2,2-Dimethylcyclopropanecarboxylic acid (4-benzylphenyl)amide in vitro showed IC50 of 3 μg/mL against NF-kappa B.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:275953 HCAPLUS

DOCUMENT NUMBER: 136:309851

TITLE: Preparation of diphenylamines and N-nitrosodiphenylamines for treatment of oxidative stress and unavailability of endothelial nitric oxide.

INVENTOR(S): Lardy, Claude; Nioche, Jean-Yves; Caputo, Lidia; Decerprit, Jacques; Ortholand, Jean-Yves; Festal, Didier; Guerrier, Daniel

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028820	A1	20020411	WO 2001-EP10761	20010918 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2815030	A1	20020412	FR 2000-12749	20001005 <--
CA 2424684	AA	20020411	CA 2001-2424684	20010918 <--
AU 2001089891	A5	20020415	AU 2001-89891	20010918 <--
BR 2001014252	A	20030701	BR 2001-14252	20010918 <--
EP 1322598	A1	20030702	EP 2001-969732	20010918 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004521866	T2	20040722	JP 2002-532407	20010918
US 2004063783	A1	20040401	US 2003-398238	20030403 <--
NO 2003001533	A	20030404	NO 2003-1533	20030404 <--
ZA 2003003369	A	20040730	ZA 2003-3369	20030430

PRIORITY APPLN. INFO.: FR 2000-12749 A 20001005
WO 2001-EP10761 W 20010918

OTHER SOURCE(S): MARPAT 136:309851
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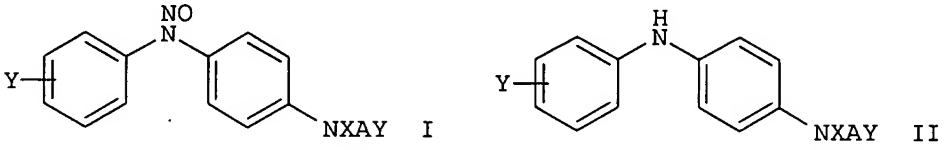

AB Title compds. [I; X, Ra = H, (unsatd.) aliphatyl, AY; A = CO, SO2, CONRa, CONRaSO2; T = H, halo, NO2, cyano, (unsatd.) (halogenated) aliphatyl optionally interrupted by O and/or S; Y = organic substituent; with provisos], and des-nitroso compds. (II; variables as above), were prepared Thus, a mixture of nicotinoyl chloride hydrochloride, 4-amino-4'-methoxy-N-tert-butoxycarbonyldiphenylamine, and Et3N was stirred in CH2Cl2 to give 100% 4-nicotinoylamino derivative which was N-deprotected with CF3CO2H to give 95.2% 4-methoxy-4'-nicotinoylaminodiphenylamine. The latter in HOAc was treated dropwise with aqueous NaNO2 to give 88% N-nitroso-4-methoxy-4'-nicotinoylaminodiphenylamine. Tested II inhibited oxidation of human low mol. weight lipoproteins by Cu2+ with IC50 = 1.7-13.4 μM.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:935563 HCAPLUS
DOCUMENT NUMBER: 136:54021
TITLE: Thyroid receptor ligands, namely 3,5-dichloro-4-(3-bromo-4-amidophenoxy)phenylacetic acids and analogs, pharmaceutical compositions comprising them, and their

use in the treatment of disorders influenced by thyroid hormones

INVENTOR(S): Li, Yi-Lin; Malm, Johan; Litten, Chris; Garcia Collazo, Ana Maria; Garg, Neeraj

PATENT ASSIGNEE(S): Karo Bio AB, Swed.

SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098256	A1	20011227	WO 2001-EP6815	20010615 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG; KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2412161	AA	20011227	CA 2001-2412161	20010615 <--
EP 1296936	A1	20030402	EP 2001-951600	20010615 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004501132	T2	20040115	JP 2002-504212	20010615
AU 779880	B2	20050217	AU 2001-72484	20010615
US 2004097589	A1	20040520	US 2003-311524	20030422 <--

PRIORITY APPLN. INFO.: GB 2000-15205 A 20000621
WO 2001-EP6815 W 20010615

OTHER SOURCE(S): MARPAT 136:54021

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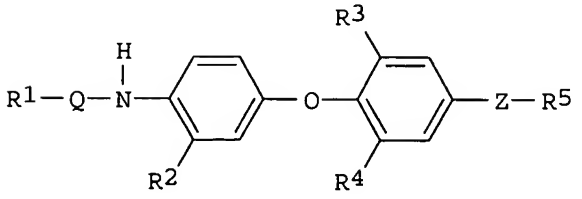

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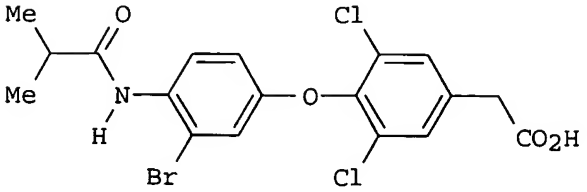

II

AB The invention relates to compds. I or pharmaceutically acceptable salts thereof [wherein: R1 = (un)substituted aryl, heteroaryl, alk(en/yn)yl, cycloalkyl; R2 = H, halo, NO2, CN, aryl, heteroaryl, alk(en/yn)yl, cycloalkyl; R1 can be linked to R2, thus forming an (un)substituted aza-containing C5-8 heterocyclic ring; Q = CO, SO, SO2, NHCS, or NHCO; R3, R4 = halo, (un)substituted alk(en/yn)yl, cycloalkyl, or bioisosteric equivalent; Z = (CH2)n, CH:CH, O(CH2)m, or NH(CH2)m; n = 0, 1, 2, or 3; m = 1 or 2; R5 = CO2H, PO(OH)2, PO(OH)NH2, SO2OH, CONHOH, NHCOCO2H, NHCOCH2CO2H, CONHSO2R', or CONR'R'' (R' and R'' not explicitly defined) where the amine portion is derived from an L- or D-amino acid or a mixture; or any other possible bioisosteric equivalent of all the groups above; including all stereoisomers, and prodrug esters]. Also disclosed are methods of preparing I, and methods for using them, such as in the regulation of metabolism I are thyroid receptor ligands, and are preferably selective for the thyroid hormone receptor β. Over 80 examples are given. For instance, 3,5-dichloro-4-(3-bromo-4-isobutyramidophenoxy)phenylacetic acid (II) was prepared in 9 steps as follows: (1) bromination of 2,6-dichlorophenol in the 4-position (85%), (2) etherification with 4-fluoronitrobenzene (45%), (3) coupling of the bromide with HC.tplbond.CSiMe3 (53%), (4) desilylation and oxidation to an acid, (5) conversion to the Me ester, (6) hydrogenation of the nitro group, (7) ring bromination adjacent to amino (57%), (8) amidation of the amino group with isobutyryl chloride (40%), and (9) alkaline hydrolysis of the ester (82%). Compds. I of the examples bound to thyroid receptor β with IC50 values of 0.2 nM to 10,000 nM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:581845 HCAPLUS
DOCUMENT NUMBER: 135:152723
TITLE: Preparation of N-phenyl-N-alkylsulfonyl(pyridylmethyl)amines as potentiators of glutamate receptors
INVENTOR(S): Coleman, Darrell Stephen; Jagdmann, Gunnar Erik Junior; Johnson, Kirk Willis; Johnson, Michael Parvin; Large, Thomas Hallett; Monn, James Allen; Schoepp, Darryle Darwin; Tizzano, Joseph Patrick; Barda, David Anthony; Britton, Thomas Charles; Dressman, Bruce Anthony; Fichtner, Michael William; Henry, Steven Scott; Hornback, William Joseph
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 247 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056990	A2	20010809	WO 2001-US643	20010122 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1255735 A2 20021113 EP 2001-906521 20010122 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2004006114 A1 20040108 US 2002-182961 20021120 <--

US 6800651 B2 20041005

PRIORITY APPLN. INFO.: US 2000-180047P P 20000203

US 2000-180089P P 20000203

WO 2001-US643 W 20010122

OTHER SOURCE(S): MARPAT 135:152723

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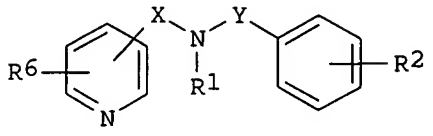

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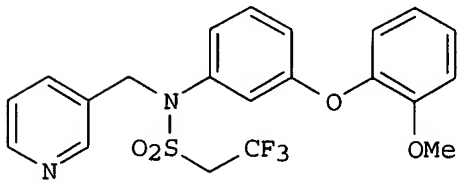


II

AB The title compds. [I; R1 = COR3, CO2R4, SO2R5 (wherein R3 = alkyl, cycloalkyl; R4 = alkyl, cycloalkyl; R5 = alkyl, cycloalkyl, fluorinated alkyl); R2 = H, OH, alkyl, etc.; or two R2 are taken together, on adjacent position, to form a fused cycloalkyl or methylenedioxy ring; R6 = H, alkyl, alkoxy, etc.; X = a bond, CH2, (CH2)2, CH(alkyl); Y = a bond, CH2, (CH2)2, etc.] and their pharmaceutically acceptable salts which are potentiators of metabotropic glutamate receptor function, in particular mGlu2 and/or mGlu3 receptors, and therefore useful in treating migraine, anxiety, epilepsy and schizophrenia, were prepared and formulated. Thus, reductive alkylation of 3-(2-methoxyphenoxy)aniline (preparation given) with pyridine-3-carboxaldehyde in the presence of NaBH4 followed by alkylation of the resulting N-[3-(2-methoxyphenoxy)phenyl]pyrid-3-methylamine with F3CCH2SO2Cl afforded the amine II which showed to act at a site other than the glutamate recognition site to potentiate the effects of glutamate at mGlu receptors (data given).

L15 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:167956 HCAPLUS

DOCUMENT NUMBER: 134:207722

TITLE: Preparation of aromatic and heterocyclic compounds having cyclopropanecarboxamide moieties as inhibitors of NF-kappa B activation, inflammatory cytokine production, matrix metalloprotease production and inflammatory cell adhesion factor expression

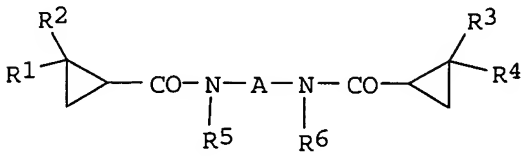
INVENTOR(S): Iino, Yukio; Fujita, Kohichi; Yamamoto, Takashi;

Takehana, Kenji; Kobayashi, Tsuyoshi
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016091	A1	20010308	WO 2000-JP5914	20000831 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1211240	A1	20020605	EP 2000-956838	20000831 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 2002161053	A1	20021031	US 2002-85073	20020301 <--
US 6563002	B2	20030513		

PRIORITY APPLN. INFO.: JP 1999-247483 A 19990901
WO 2000-JP5914 W 20000831

OTHER SOURCE(S): MARPAT 134:207722
GI



I

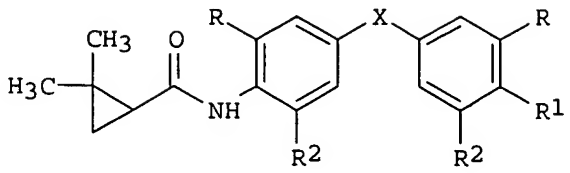
AB The title compds. I [R1 to R4 represent each Me, etc.; R5 and R6 represent each hydrogen, alkyl, etc.; A = (un)substituted arylene, etc.] are prepared I are useful as antiinflammatory agents, antirheumatic agents, immunosuppressants, cancer metastasis inhibitors, antiviral agents. Compds. of this invention in vitro showed IC50 values of 1 μg/mL to 4 μg/mL against NF-kappa B activity.
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:191054 HCAPLUS
DOCUMENT NUMBER: 132:222342
TITLE: Benzene derivatives and medicinal use thereof
INVENTOR(S): Iino, Yukio; Fujita, Kohichi; Tsuji, Takashi; Kodaira, Ariko; Takehana, Kenji; Kobayashi, Tsuyoshi; Yamamoto, Takashi
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2000015603	A1	20000323	WO 1999-JP4986		19990913	<--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM						
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG						
CA 2343101	AA	20000323	CA 1999-2343101		19990913	<--
AU 9956502	A1	20000403	AU 1999-56502		19990913	<--
BR 9913562	A	20010522	BR 1999-13562		19990913	<--
EP 1113000	A1	20010704	EP 1999-943309		19990913	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO						
NO 2001001157	A	20010425	NO 2001-1157		20010307	<--
US 2001018441	A1	20010830	US 2001-803107		20010312	<--
US 6703379	B2	20040309				
US 2003166693	A1	20030904	US 2003-387395		20030314	<--
US 2005165114	A1	20050728	US 2005-87531		20050324	<--
PRIORITY APPLN. INFO.:			JP 1998-257804	A	19980911	
			WO 1999-JP4986	W	19990913	
			US 2001-803107	A3	20010312	
			US 2003-387395	A1	20030314	

OTHER SOURCE(S): MARPAT 132:222342
GI



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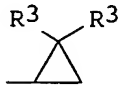
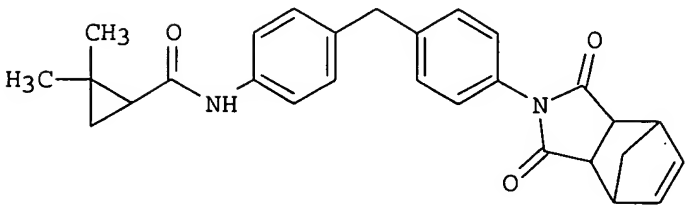
Q =





II

AB Title compds. [I; X = CO, S, NH, O, SO2, CH2, CH2CH2, CHOH, CHOCH3, C:CH2, CHCH2OH, S:O, OCH2, SCH2, CH:CH, SO2NH, SO2NCH3, CONH, CONCH3; R = H, CH3, Cl; R1 = NHCOQ, 4-CH3OC6H4CH2CONH, 4-CH3OC6H4CH2CH2CH2NH, 4-CH3OC6H4CH2CH2CONH, NH2, 4(CH3)2NC6H4CH2CONH, 4-ClC6H4CH2CONH, NHCOCH3; R3 = Cl, CH3; Q = N-containing-heterocyclo], stereoisomers, and pharmaceutically acceptable salts thereof are prepared as AP-1 activation inhibitors, NF-kappa B activation inhibitors, inflammatory cytokine production inhibitors, matrix metalloprotease production inhibitors, inflammatory cell adhesion factor expression inhibitors, anti-inflammatory agents, antirheumatic agents, immunosuppressive agents, cancerous metastasis inhibitors, and remedies for arteriosclerosis or antiviral agents containing the above compds. as the active ingredient. The title compound II was prepared and tested.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:783925 HCAPLUS

DOCUMENT NUMBER: 132:22753

TITLE: Preparation of N-(arylsulfonylphenyl)-2-hydroxy-2-methyl-3,3,3-trifluoropropanamide derivatives for the elevation of pyruvate dehydrogenase (PDH) activity

INVENTOR(S): Butlin, Roger John; Nowak, Thorsten; Burrows, Jeremy Nicholas; Block, Michael Howard

PATENT ASSIGNEE(S): Zeneca Limited, UK

SOURCE: PCT Int. Appl., 211 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962506	A1	19991209	WO 1999-GB1669	19990526 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2331685	AA	19991209	CA 1999-2331685	19990526 <--
AU 9940524	A1	19991220	AU 1999-40524	19990526 <--
AU 740909	B2	20011115		
BR 9910821	A	20010213	BR 1999-10821	19990526 <--
EP 1082110	A1	20010314	EP 1999-923767	19990526 <--
EP 1082110	B1	20040324		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200003524	T2	20011022	TR 2000-200003524	19990526 <--
EE 200000691	A	20020415	EE 2000-691	19990526 <--
JP 2002516854	T2	20020611	JP 2000-551762	19990526 <--
NZ 507784	A	20021025	NZ 1999-507784	19990526 <--
AT 262327	E	20040415	AT 1999-923767	19990526
PT 1082110	T	20040730	PT 1999-923767	19990526
ES 2217754	T3	20041101	ES 1999-923767	19990526
RU 2242224	C2	20041220	RU 2000-133221	19990526
ZA 2000006645	A	20020815	ZA 2000-6645	20001115 <--
US 6498275	B1	20021224	US 2000-700370	20001115 <--
NO 2000006010	A	20010126	NO 2000-6010	20001128 <--
HK 1033652	A1	20040930	HK 2001-104230	20010619
US 2004009979	A1	20040115	US 2002-277957	20021023 <--
US 6960688	B2	20051101		

PRIORITY APPLN. INFO.:	GB 1998-11427	A	19980529
	WO 1999-GB1669	W	19990526
	US 2000-700370	A3	20001115

OTHER SOURCE(S): MARPAT 132:22753

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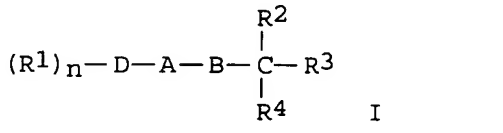

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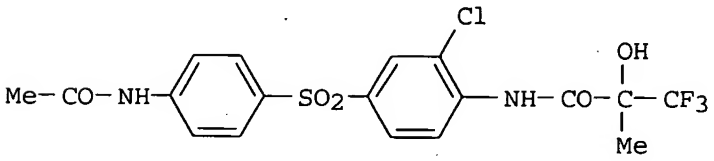


II

AB Aryl Ph sulfone and sulfoxide derivs. (I) [where ring D = (un)substituted Ph, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, or other 6-membered N-containing heteroaryl ring; R1 = (hetero)arylsulfonyl, (hetero)arylsulfinyl,

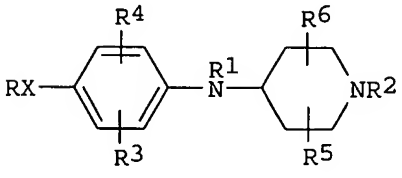
(hetero)arylcarbonyl, (halo)alkyl, (halo)alkoxy, alkenyloxy, cyano, NO2, halo, S-CF3, OH, or a variety of (un)substituted functional groups; n = 1 or 2; R2 and R3 = independently (halo)alkyl or 3-5 membered (halo)cycloalkyl ring; A-B = NH-C(O), O-CH2, S-CH2, (trans)-vinylene, ethynylene, NH-C(S), or C(O)-CH2; R4 = H, OH, halo, NH2, or Me], and pharmaceutically acceptable salts or in vivo hydrolysable esters thereof, were prepared Pharmaceutical compns., methods, and processes for preparation of compds. of formula I are also described. For example, (R)-(+)-2-hydroxy-2-methyl-3,3,3-trifluoropropanoic acid (preparation given) was mixed with oxalyl chloride and added to 4-(4-acetamidophenylsulfonyl)-2-chloroaniline (preparation given) in DCM to yield (R)-N-[4-(4-acetamidophenylsulfonyl)-2-chlorophenyl]-2-hydroxy-2-methyl-3,3,3-trifluoropropanamide (R)-(II). Title compds. elevate pyruvate dehydrogenase (PDH) activity (no data) and are useful in the treatment of diabetes mellitus, peripheral vascular disease, cardiac failure and certain cardiac myopathies, myocardial ischemia, cerebral ischemia and perfusion, muscle weakness, hyperlipidemias, Alzheimer's disease, and/or atherosclerosis.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:582651 HCAPLUS
DOCUMENT NUMBER: 131:214192
TITLE: Preparation of arylaminopiperidines as muscarinic M2 antagonists for treating memory loss
INVENTOR(S): Asberom, Theodros; Lowe, Derek B.; Green, Michael J.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 28 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5952349	A	19990914	US 1997-889486		19970708 <--
PRIORITY APPLN. INFO.:			US 1996-21691P	P	19960710

OTHER SOURCE(S): MARPAT 131:214192
GI



I

AB Title compds. [I; X = bond, O, S, SO, SO2, CO, C(OR7)2, CH2O, CH:CH, CH2,CHA, CA2, CONR17, SO2NR17, etc.; R = cycloalkyl, (substituted) Ph, pyridyl, indolyl, quinolyl, etc.; R1 = H, cyano, CF3, A, cycloalkyl, cycloalkenyl, alkenyl, COR15, CO2A, etc.; R2 = cycloalkyl, cycloalkenyl, BOC, (substituted) 4-piperidinyl; A = alkyl; R3, R4 = H, halo, CF3, A, alkoxy, OH; R5, R6 = H, A, CF3, alkoxy, OH, alkylcarbonyl, alkoxycarbonyl,

etc.; R7 = H, A; R15 = H, A, cycloalkyl, aryl, heteroaryl; R17 = H, alkyl, aryl, heteroaryl], were prepared Thus, I (R = 3,4-methylenedioxyphenyl; X = SO2; R1 = cyano; R2 = cyclohexyl; R3-R6 = H) showed Ki = 0.44 nM for binding to M2 receptors.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:576791 HCAPLUS
DOCUMENT NUMBER: 131:199422
TITLE: Preparation of 2-hydroxy-2-methyl-3,3,3-trifluoropropanamide derivatives and their use to elevate pyruvate dehydrogenase activity
INVENTOR(S): Butlin, Roger John
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9944618	A1	19990910	WO 1999-GB615	19990302 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9932625	A1	19990920	AU 1999-32625	19990302 <--
EP 1059927	A1	20001220	EP 1999-937876	19990302 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002505293	T2	20020219	JP 2000-534219	19990302 <--
US 6369273	B1	20020409	US 2000-601449	20000802 <--
PRIORITY APPLN. INFO.:			GB 1998-4648 A	19980306
			WO 1999-GB615 W	19990302

AB (R1)nQABCR2R3OH (Q = Ph, carbon-linked heteroaryl selected from pyridyl, pyrazinyl, pyrimidinyl, and pyridazinyl; A-B = NHCO, OCH2, SCH2, NHCH2, trans-vinylene, ethynylene; R1 is linked to ring C at a carbon ortho to the position of A-B attachment; R1 = alkyl, haloalkyl, alkoxy, haloalkoxy, halo, etc.; n = 1, 2; R2, R3 = alkyl, haloalkyl or together form cycloalkyl or halocycloalkyl), useful in the elevation of PDH activity in warm-blooded animals such as humans (no data), is described. E.g., N-(4-benzoyl-2-fluorophenyl)-2-hydroxy-2-methyl-3,3,3-trifluoropropanamide was prepared

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:516518 HCAPLUS
DOCUMENT NUMBER: 119:116518
TITLE: Therapeutic amides
INVENTOR(S): Russell, Keith; Ohnmacht, Cyrus John; Gibson, Keith Hopkinson

PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Eur. Pat. Appl., 58 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 524781	A1	19930127	EP 1992-306588	19920717 <--
EP 524781	B1	19960327		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
AT 136027	E	19960415	AT 1992-306588	19920717 <--
ES 2084944	T3	19960516	ES 1992-306588	19920717 <--
AU 9220476	A1	19930128	AU 1992-20476	19920723 <--
AU 648423	B2	19940421		
ZA 9205559	A	19930331	ZA 1992-5559	19920723 <--
US 5272163	A	19931221	US 1992-918982	19920723 <--
IL 102626	A1	19961205	IL 1992-102626	19920723 <--
CA 2074605	AA	19930126	CA 1992-2074605	19920724 <--
NO 9202942	A	19930126	NO 1992-2942	19920724 <--
NO 178300	B	19951120		
NO 178300	C	19960228		
HU 62262	A2	19930428	HU 1992-2429	19920724 <--
HU 213605	B	19970828		
RU 2074173	C1	19970227	RU 1992-5052538	19920724 <--
CZ 282503	B6	19970716	CZ 1992-2342	19920724 <--
PL 171933	B1	19970731	PL 1992-295405	19920724 <--
PL 171991	B1	19970731	PL 1992-311242	19920724 <--
SK 280516	B6	20000313	SK 1992-2342	19920724 <--
FI 112940	B1	20040213	FI 1992-3379	19920724
CN 1069727	A	19930310	CN 1992-109759	19920725 <--
CN 1038413	B	19980520		
JP 05286915	A2	19931102	JP 1992-199954	19920727 <--
JP 3192228	B2	20010723		
US 5382598	A	19950117	US 1993-126350	19930924 <--
US 5474999	A	19951212	US 1994-329188	19941026 <--
US 5565477	A	19961015	US 1995-476007	19950607 <--
US 5565465	A	19961015	US 1995-476413	19950607 <--
US 5567735	A	19961022	US 1995-476407	19950607 <--
US 5684198	A	19971104	US 1996-701820	19960823 <--

PRIORITY APPLN. INFO.:

GB 1991-16069	A	19910725
GB 1992-9416	A	19920430
US 1992-918982	A3	19920723
US 1993-126350	A3	19930924
US 1994-329188	A3	19941026
US 1995-476007	A1	19950607

OTHER SOURCE(S): MARPAT 119:116518
GI

I

AB The title compds. I (E = N, CZ where C is a ring C and Z is a substituent; when E = CZ, Z = H, -CN, halo, OH, C1-4 alkyl or alkoxy and X = ArY where Y = CO, SO, SO2 and Ar is substituted Ph or 5- or 6-membered heteroaryl or Z = PhS, PhSO, PhSO2 when X = -CN; R2, R3 = C1-3 alkyl optionally substituted by F or Cl, R2CR3 = cycloalkyl optionally substituted by F) were prepared as cell potassium channel openers, useful in the treatment of urinaryl incontinence in mammals (no data). E.g., 1.42 g 3,3,3-trifluoro-2-hydroxy-2-methylpropanoic acid in 13 mL dimethylacetamide at -20° was treated with 1.13 g thionyl chloride, then with 1.51 g 4-(2-fluorophenylsulfonyl)benzenamine to give 827 of the corresponding propanamide.

L15 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:180843 HCAPLUS
DOCUMENT NUMBER: 92:180843
TITLE: Diphenylamine derivative herbicides
INVENTOR(S): Pilgram, Kurt H. G.; Skiles, Richard D.
PATENT ASSIGNEE(S): Shell Oil Co., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4181519	A	19800101	US 1979-5633	19790122 <--
PRIORITY APPLN. INFO.:			US 1977-761515 A2	19770121
			US 1978-876593 A2	19780210

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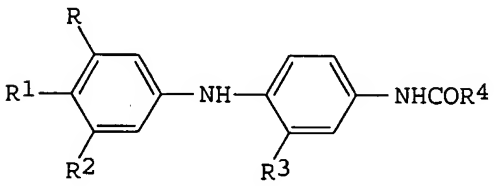

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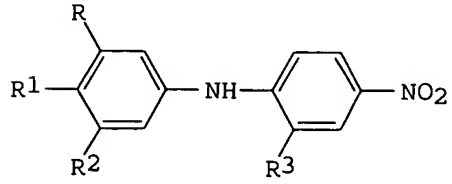

II

AB Diphenylamines I (R, R2 = H, halogen, optionally substituted alkyl or alkoxy; R1 = H, halogen, alkyl, optionally substituted alkyl, alkylthio, alkylsulfinyl, or alkylsulfonyl, NH2, substituted amino; R3 = halogen, C1-6 alkyl, haloalkyl; R4 = alkyl, cyclopropyl, 1-alklcyclopropyl) were prepared Thus, 4,3-Cl(F3C)C6H3NH2 was acylated by formic acid followed by addition of 2,5-Cl(O2N)C6H3CF3 to give II (R = R3 = CF3, R1 = Cl, R2 = H). Hydrogenation of II by Raney Ni followed by acylation with

1-methylcyclopropanoyl chloride gave I (R = R3 = CF3, R1 = Cl, R2 = H, R4 = 1-methylcyclopropyl, III). At 250 ppm post-emergence, III gave total control of, for example, crabgrass and pigweed.

L15 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:6283 HCAPLUS
DOCUMENT NUMBER: 92:6283
TITLE: Cycloalkanecarboxanilide derivative herbicides
INVENTOR(S): Pilgram, Kurt H. G.; Skiles, Richard D.
PATENT ASSIGNEE(S): Shell Oil Co., USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4166735	A	19790904	US 1978-876595	19780210 <--
CA 1087186	A1	19801007	CA 1978-294281	19780104 <--
BE 863074	A1	19780719	BE 1978-184445	19780119 <--
SE 7800692	A	19780722	SE 1978-692	19780119 <--
NL 7800656	A	19780725	NL 1978-656	19780119 <--
DE 2802282	A1	19780727	DE 1978-2802282	19780119 <--
JP 53092739	A2	19780815	JP 1978-3778	19780119 <--
BR 7800354	A	19781010	BR 1978-354	19780119 <--
ES 466142	A1	19790601	ES 1978-466142	19780119 <--
AU 7832543	A1	19790726	AU 1978-32543	19780119 <--
AU 523765	B2	19820812		
AT 7800395	A	19800615	AT 1978-395	19780119 <--
AT 360799	B	19810126		
GB 1593932	A	19810722	GB 1978-2214	19780119 <--
CH 637917	A	19830831	CH 1978-563	19780119 <--
US 4199347	A	19800422	US 1979-5642	19790122 <--

PRIORITY APPLN. INFO.: US 1977-761515 A2 19770121
US 1978-876595 A2 19780210

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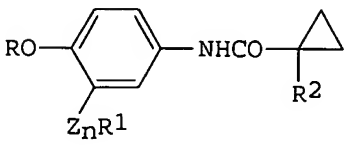

I

AB Cyclopropanecarboxanilides (I; R = alkyl, alkenyl, aryl; R1 = halo, NO2, alkyl; R2 = alkyl, alkoxy, halo; Z = O, S, SO, SO2; n = 0, 1), effective herbicides at 0.05 - 0.5% concentration, were prepared Thus, 0.05 mol 1-methylcyclohexanecarbonyl chloride was added to a solution of 0.5 mol 3-(trifluoromethyl)-4-isopropoxyaniline and 0.05 mol Et3N in THF and the mixture refluxed 30 min to give 97% I (R = Me2CH, R1 = CF3, R2 = Me, n = 0). Similarly prepared were 45 addnl. I.

L15 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:30705 HCAPLUS
DOCUMENT NUMBER: 84:30705

TITLE: N-(4-Sulfanilylphenyl) phosphoric acid triamides
INVENTOR(S): Shen, Tsung-Ying; Jensen, Norman P.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3912769	A	19751014	US 1973-424523	19731213 <--
NL 7311151	A	19740304	NL 1973-11151	19730813 <--
DK 135045	B	19770228	DK 1973-4484	19730815 <--
SE 407578	C	19790712	SE 1973-11178	19730816 <--
SE 407578	B	19790402		
FR 2197589	A1	19740329	FR 1973-31048	19730828 <--
GB 1388651	A	19750326	GB 1973-40393	19730828 <--
CH 595396	A	19780215	CH 1973-12307	19730828 <--
JP 49075559	A2	19740720	JP 1973-97796	19730830 <--
JP 56123916	A2	19810929	JP 1981-11727	19810130 <--

PRIORITY APPLN. INFO.: US 1972-284788 A2 19720830
AB 4-(4-H2NC6H4SO2)C6H4NHP(O)(NHR)2 (I; R = H, Me, Ph, cyclohexyl, PhCH2, CH2CO2Et, etc.) were prepared by heating 4-O2NC6H4SO2C6H4NH2-4 with POCl3 at reflux, treating the resulting 4-(4-O2NC6H4SO2)C6H4NHP(O)Cl2 with RNH2 in dioxane, and reducing the NO2 group of the products by hydrogenation. Acyl and Schiff base derivs. of the sulfanilyl N of some I were also prepared I and its derivs. prepared (in all .apprx.20) are useful as analgesics, antipyretics, and inflammation inhibitors (dosages and pharmaceutical compns. given).

L15 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:504961 HCAPLUS
DOCUMENT NUMBER: 79:104961
TITLE: Herbicidal S-aryl arylamides
INVENTOR(S): Singhal, Gopal H.
PATENT ASSIGNEE(S): Esso Research and Engineering Co.
SOURCE: U.S., 9 pp. Division of U.S. 3,576,872 (CA 75;35459g).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3753679	A	19730821	US 1971-103852	19710104 <--
US 3576872	A	19710427	US 1968-726567	19680503 <--

PRIORITY APPLN. INFO.: US 1968-726567 A3 19680503
GI For diagram(s), see printed CA Issue.
AB About 18 anilides (I; R = H, Cl, Me; R1 = H, Cl; R2 = EtCO, PrCHMeCO, cyclopropylcarbonyl, etc.; n = 0, 1, 2), with herbicidal activity, were prepared by acylation of I (R2 = H) with acid anhydrides or chlorides. I (R2 = H) were prepared by the Fe-HCl reduction of the corresponding nitro compds. which were prepared by exothermic reaction of 4,3-XClC6H3NO2 (X = Cl, Br) in p-dioxane with 4,3-RR1C6H3SH in aqueous NaOH-EtOH. The nitro compds. (n = 1, 2) were prepared from the corresponding sulfides by oxidation

L15 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:110900 HCAPLUS
DOCUMENT NUMBER: 78:110900
TITLE: Diphenyl sulfones
INVENTOR(S): Shen, Tsung-Ying; Ruyle, Wlliam V.; Fordice, Michael W.; Jensen, Norman P.
PATENT ASSIGNEE(S): Merck and Co., Inc.
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3715375	A	19730206	US 1970-73247	19700917 <--
PRIORITY APPLN. INFO.:			US 1970-73247 A	19700917

GI For diagram(s), see printed CA Issue.
AB About 37 title sulfones I(RR1 = PhCH, substituted benzylidene, furfurylidene, thenylidene, etc.; R = acyl, R1 = H), useful in treatment of poultry exposed to Marek's disease, were prepared by reaction of I(R = R1 = H) (II) with an appropriate aldehyde or acyl chloride. Thus, II was added to 2-furancarboxaldehyde in EtOH and the solution boiled to give I(RR1 = furfurylidene).

L15 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:461638 HCAPLUS
DOCUMENT NUMBER: 77:61638
TITLE: Diphenyl sulfones for use against Marek's poultry disease
INVENTOR(S): Shen, Tsung-Ying; Ruyle, William V.; Fordice, Michael W.; Jensen, Norman Peter
PATENT ASSIGNEE(S): Merck and Co., Inc.
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2146450	A	19720330	DE 1971-2146450	19710916 <--
US 3775403	A	19731127	US 1970-73245	19700917 <--
US 3786050	A	19740115	US 1971-160158	19710706 <--
ZA 7105186	A	19730328	ZA 1971-5186	19710803 <--
NL 7111711	A	19720321	NL 1971-11711	19710825 <--
IL 37657	A1	19750728	IL 1971-37657	19710906 <--
AU 7133180	A1	19730315	AU 1971-33180	19710907 <--
CH 570978	A	19751231	CH 1971-13174	19710908 <--
HU 163591	P	19730927	HU 1971-ME1419	19710914 <--
AT 314890	B	19740425	AT 1971-7975	19710914 <--
BE 772667	A1	19720316	BE 1971-108209	19710916 <--
FR 2106586	A5	19720505	FR 1971-33427	19710916 <--
FR 2106586	B1	19740906		
SE 366544	B	19740429	SE 1971-11750	19710916 <--
ES 395164	A1	19741116	ES 1971-395164	19710916 <--
PRIORITY APPLN. INFO.:			US 1970-73245 A	19700917

US 1971-160158 A 19710706

GI For diagram(s), see printed CA Issue.

AB The title compds. (I), useful against Marek's disease, were prepared by condensation of an aldehyde or acid halide with 4-H2NC6H4SO2C6H4NHCONH2-4. About 38 I (X = o-O2NC6H4SNH, RCH:N, R1CONH, R = alkenyl, Ph, substituted phenyl, heterocycle, R1 = alkyl, cycloalkyl, heterocycle), including NaHSO3 and MeOH adducts, were prepared

L15 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:435459 HCAPLUS
DOCUMENT NUMBER: 75:35459
TITLE: Herbicidal S-aryl arylamides
INVENTOR(S): Singhal, Gopal H.
PATENT ASSIGNEE(S): Esso Research and Engineering Co.
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3576872	A	19710427	US 1968-726567	19680503 <--
US 3753679	A	19730821	US 1971-103852	19710104 <--

PRIORITY APPLN. INFO.: US 1968-726567 A3 19680503

GI For diagram(s), see printed CA Issue.

AB At doses of 0.63-5.0 lb/acre, selected title compds. I are postemergence herbicides for morning glory, velvet leaf [Indian mallow], and mustard, but do only minor damage to corn, oats, and soybeans. 3,4-Cl2C6H3NO2 in p-dioxane was treated with aqueous EtOH-NaOH and 4-ClC6H4SH to give 98% II (Y = Cl), which was refluxed with Fe and dilute HCl to give 91.4% III (Y = Cl). Six other III were similarly prepared III were treated with RCO2H, RCOCl, (RCO)2O, or RCO2R1 (R, R1 = alkyl) to give I, also prepared from IV and RCO2H.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	105.07	614.57

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-17.25	-17.25

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